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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application
Group:1626

Binggeli et al.
Examiner: Golam Shameem

Application No. 10/679,604
Filed October 6, 2003

For: Novel Oxazole Derivatives

DECLARATION OF DR. HANS PETER MÄRKI UNDER 37 C.F.R. §1.132

Nutley, New Jersey 07110
March 22, 2005

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

I, Hans Peter Märki, declare that:

1. In 1980, I received a Ph.D. degree in Chemistry, from the University of Zürich, Switzerland. Between 1981 and 1982, I was working as a postdoctoral fellow at the University of Berkeley, California.

2. A summary of my various positions in academia and industry, as well as a list of my publications, is provided in my *curriculum vitae*, a copy of which is attached hereto as Exhibit 1.

3. Since 1982, I have been employed as a Scientific Expert and Team Leader in Medicinal Chemistry at F. Hoffmann-La Roche Ltd., Pharmaceuticals Division, Discovery Chemistry, CH-4070 Basel, Switzerland.

4. I am an inventor of the subject matter of the above-identified application.

5. The following tests were performed which demonstrate that the compounds claimed in the above-identified U.S. patent application (S enantiomers, wherein n=1) show surprising and improved activity in binding to alpha peroxisome proliferator activated receptors and/or in transcriptional activation of alpha peroxisome proliferator activated receptors (PPARα) compared to the corresponding racemates disclosed in U.S. Patent No. 6,642,389.

COMPARISON OF [RAC]-2-ETHOXY-3-{4-[2-(4-ISOPROPOXY-PHENYL)-5-METHYL-OXAZOL-4-YLMETHOXY]-2 -METHYL-PHENYL}-PROPIONIC ACID AND THE CORRESPONDING OPTICALLY PURE (S) ENANTIOMER

6. The racemate [rac]-2-Ethoxy-3-{4-[2-(4-isopropoxy-phenyl)-5-methyl-oxazol-4-ylmethoxy]-2-methyl-phenyl}-propionic acid of Example 133 in U.S. Patent No. 6,642,389 and the corresponding optically pure enantiomer (S)-2-Ethoxy-3-{4-[2-(4-isopropoxy-phenyl)-5-methyl-oxazol-4-ylmethoxy-]-2-methyl-phenyl}-propionic acid of claim 25 in the above-identified application were compared as follows:

Radioligand Binding Assay for determination of PPARα IC_{50} values

7. PPARα receptor binding was assayed in TKE10 (10 mM Tris-HCl, pH 8, 50 mM KCl, 2mM EDTA, 0.1mg/ml fatty acid free BSA and 10 mM DTT). For each 96 well 2.4 ug equivalent of GST-PPARα-LBD fusion protein and radioligand, e.g. 40000 dpm 2(S)-(2-benzoyl-phenylamino)-3-{4-[1,1-ditritio-2-(5-methyl-2-phenyl-oxazol-4-yl)-ethoxy]-phenyl}-propionic acid, were incubated in 100 ul volume at RT for 2 hrs. Bound ligand was removed from unbound ligand by solid phase separation using MultiScreen plates (Millipore) filled with 80 ul of SG25 (Pharmacia) according to the manufacturer's recommendations. The amount of bound ligand in the column eluate was measured on a Packard TopCount using OptiPlates (Packard). Nonspecific binding was determined in the presence of 10^{-4} M unlabelled compound. Dose response curves were done in triplicates within a range of concentration from 10^{-10} M to 10^{-4} M.

Luciferase Transcriptional Reporter Gene Assays for Determination of PPARα EC_{50} values

8. Baby hamster kidney cells (BHK21 ATCC CCL10) were grown in DMEM medium containing 10% FBS at 37°C in a 95%O_2:5%CO_2 atmosphere. Cells were seeded in 6 well plates at a density of 10^5 Cells/well and then transiently batch-transfected with pFA-PPARα-LBD expression plasmids plus a reporter plasmid and an expression plasmid encoding the secretable form of alkaline phosphatase (SEAP) as a normalization control. Transfection was accomplished with the Fugene 6 reagent (Roche Molecular Biochemicals) according to the suggested protocol. Six hours following transfection, the cells were harvested by trypsinization and seeded in 96 well plates at a density of 10^4 cells/well. After 24 hours to allow attachment of cells, the medium was removed and replaced with 100 ul of phenol red-free medium containing the test substances or control ligands (final DMSO concentration: 0.1%). Following incubation of the cells for 24 hours with substances, 50 ul of the supernatant was recovered and analyzed for SEAP activity (Roche Molecular Biochemicals). The remainder of the supernatant was discarded, 50 ul PBS was added per well followed by one volume of Luciferase Constant–Light Reagent (Roche Molecular Biochemicals) to lyse the cells and initiate the luciferase reaction. Luminescence for both SEAP and luciferase was measured in a Packard TopCount. Luciferase activity was normalized to the SEAP control and transcriptional activation in the presence of a test substance was expressed as fold-activation over cells incubated in the absence of the substance. EC_{50} values were calculated using the XLfit program (ID Business Solutions Ltd. UK).

9. The results of the above two assays with respect to binding to PPARα and transcriptional activation of PPARα are summarized below in Table 1:

Table 1

	PPARα IC_{50}	PPARα EC_{50}
[rac]-2-Ethoxy-3-{4-[2-(4-isopropoxy-phenyl)-5-methyl-oxazol-4-ylmethoxy]-2-methyl-phenyl}-propionic acid	184 nmol/l	546 nmol/l [mean of 2 experiments]
(S)-2-Ethoxy-3-{4-[2-(4-isopropoxy-phenyl)-5-methyl-oxazol-4-ylmethoxy-]-2-methyl-phenyl}-propionic acid	30 nmol/l	163 nmol/l [mean of 3 experiments]

10. Thus, the results of this test show that the above S-enantiomer possesses surprising and improved activity with respect to PPAR alpha binding and transactivation compared to the corresponding racemate.

COMPARISON OF [RAC]-2-ETHOXY-3-[2-METHYL-4-(5-METHYL-2-PHENYL-OXAZOL-4-YLMETHOXY)-PHENYL]-PROPIONIC ACID AND THE CORRESPONDING OPTICALLY PURE (S) ENANTIOMER

11. The racemate [rac]-2-Ethoxy-3-[2-methyl-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-phenyl]-propionic acid of U.S. Patent No. 6,642,389 (example 129d) and the corresponding optically pure enantiomer (S)-2-Ethoxy-3-[2-methyl-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-phenyl]-propionic acid of claim 26 in the above-identified application were compared using the radioligand binding assay and luciferase transcriptional reporter gene assay described above.

12. The results with respect to binding to PPARα and transcriptional activation of PPARα are summarized below in Table 2:

Table 2

	PPARα IC_{50}	PPARα EC_{50}
[rac]-2-Ethoxy-3-[2-methyl-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-phenyl]-propionic acid	234 nmol/l	66 nmol/l [mean of 3 experiments]
(S)-2-Ethoxy-3-[2-methyl-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-phenyl]-propionic acid	87 nmol/l	57 nmol/l [mean of 3 experiments]

13. As shown in Table 2, the results demonstrate that the above S-enantiomer possesses surprising and improved activity with respect to PPAR alpha binding and to a lesser extent to PPAR alpha transactivation compared to the corresponding racemate.

14. I further declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the above-identified application or any patent issuing thereon.

Date March 24, 2005

Hans Peter Märki

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CURRICULUM VITAE

Hans Peter Märki; Dr. Phil., Chemist

2000-	Team Leader Discovery Chemistry in the Areas Diabetes and Lipid Modulation
Jan. 1, 1999	Promotion to Scientific Expert.
1993-1999	Area Head in the Department Cardiovascular Diseases.
1991-1992	Group Leader in the Department of Cardiovascular Diseases.
Jan. 1, 1989	Promotion to Procurist.
1987-1991	Group Leader in the Department of Metabolic Diseases.
1982-1987	Research Chemist in the Department of Cardiovascular Diseases.
1982- today	Employee of F. Hoffmann-La Roche Ltd., Pharmaceuticals Division, Discovery Chemistry, CH-4070 Basel, Switzerland
1981-1982	Postdoctoral Fellowship, University of California Berkeley. Prof. C.H. Heathcock. Work on the Synthesis of Ionomycin and Erythromycin A.
1980-1981	Postdoctoral Fellowship, University of Zürich. Prof. C.H. Eugster.
1976-1980	Thesis, University of Zürich; Prof. C.H. Eugster. Synthesis of Labeled Carotenoids.
1971-1976	Studies in Chemistry; University of Zürich.
July 26, 1952	Date of Birth; Zürich, Switzerland.

Research Areas

- PPAR αγ Co-Agonists
- Metabotropic Receptor Type 1 Antagonists
- Oxidosqualene Cyclase Inhibitors
- Renin-Inhibitors (3rd Generation, Piperidine Type Inhibitors [-> a Candidate Compound is Currently being Profiled for Entry into Global Portfolio])
- Endothelin Receptor Antagonists
- Vascular Heparinoid Mimetics
- Inhibitors of Platelet Derived Growth Factor
- Inhibitors of Pancreatic Lipase as Back-up/Follow-up Compounds for Xenical (Orlistat): β-Lactones (-> Back-up Compound, which has not been Developed) and Novel Non-β-Lactone-Lipase-Inhibitors.
- Renin-Inhibitors (2nd Generation, Peptidomimetics)
- ANF-Agonists
- Ca-Antagonists (-> Mibefradil [Posicor])
- β-Blockers
- Inotropics

Hans Peter Märki
Publications and Patents

Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Mathew Blake. **A preparation of [(heterocyclylalkyl)aminophenoxy]acetic acid derivatives, useful as PPAR activators** U.S. Pat. Appl. Publ. (2004), 28 pp. US 2004248951 (Priority: June 30, 2003, Publication Date: December 09, 2004).

Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake. **Preparation of thiazolyl-indole derivatives for treating and/or preventing diseases which are modulated by PPAR.delta. and/or PPAR.alpha. agonists** U.S. Pat. Appl. Publ. (2005) US 20050004187 A1 (Priority: July 02, 2003, Publication Date: January 06, 2005).

Wolfgang Froestl and Hans Peter Märki. **Research Concepts of Swiss Startup Companies: Mini-Symposium of the Division for Medicinal Chemistry (DMC) of the Swiss Chemical Society (SCS) at the Institute of Organic Chemistry, University of Basel, May 13, 2004.** Chimia 58 (2004), 743-752.

Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter. **Preparation of indolyl derivatives for treating non-insulin dependent diabetes mellitus**. U.S. Pat. Appl. Publ. (2004), 19 pp. US 20040106657 A1 (Priority: November 25, 2002, Publication Date: June 03, 2004).

Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter. **Preparation of substituted 4-alkoxyoxazole derivatives as PPAR agonists**. PCT Int. Appl. (2004), 97 pp. WO 2004041275 A1 (Priority: November 08, 2002, Publication Date: Mai 21, 2004).

Binggeli, Alfred; Boehringer, Markus; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Ricklin, Fabienne. **Preparation of chiral oxazole-arylpropionic acid derivatives and their use as PPAR.alpha. and PPAR.gamma. agonists for disorders like type II diabetes.** PCT Int. Appl. (2004), 108 pp. WO 2004031162 A1 (Priority: October 07, 2002, Publication Date: April 15, 2004).

Binggeli, Alfred; Wirz, Beat; Grether, Uwe; Hilpert, Hans; Humm, Roland; Iding, Hans; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter. **Preparation of (oxazolylmethyl)indoles and analogs as PPAR activators for treatment of diabetes.** U.S. Pat. Appl. Publ. (2004), 39 pp. US 20040053979 A1 (Priority: September 12, 2002, Publication Date: March 18, 2004).

Binggeli, Alfred; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter. **Novel 2-arylthiazole compounds as PPAR-alpha and PPAR-gamma agonists.** PCT Int. Appl. (2004), 165 pp. WO 2004020420 A1 (Priority: August 30, 2002, Publication Date: March 11, 2004).

Juillerat-Jeanneret, L.; Celerier, J.; Chapuis Bernasconi, C.; Nguyen, G.; Wostl, W.; Maerki, H. P.; Janzer, R-C.; Corvol, P.; Gasc, J-M. **Renin and angiotensinogen expression and functions in growth and apoptosis of human glioblastoma.** British Journal of Cancer (2004), 90(5), 1059-1068.

Ackermann, Jean; Aebi, Johannes; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier. **Heteroaryl-substituted aminocyclohexane derivatives as inhibitors of 2,3-oxidosqualene lanosterol cyclase.** PCT Int. Appl. (2003), 83 pp. WO 0353933 A1 (Priority: December 11, 2002, Publication Date: July 03, 2003).

Ackermann, Jean; Aebi, Johannes; Dehmlow, Henrietta; Hirth, Georges; Maerki, Hans-Peter; Morand, Olivier. **Preparation of cyclohexane derivatives as inhibitors of 2,3-oxidosqualene-lanosterol cyclase.** PCT Int. Appl. (2003), 114 pp. WO 0353919 A1 (Priority: December 05, 2002, Publication Date: July 03, 2003).

Carcache, David A.; Hoertner, Simone R.; Bertogg, Andreas; Diederich, Francois; Dorn, Arnulf; Maerki, Hans Peter; Binkert, Christoph; Bur, Daniel **A new class of inhibitors for the malarial aspartic protease plasmepsin II based on a central 11-azatricyclo[6.2.1.02,7]undeca-2,4,6-triene scaffold.** Helvetica Chimica Acta 86 (6) (2003) 2192-2209.

Carcache, David A.; Hoertner, Simone R.; Seiler, Paul; Diederich, Francois; Dorn, Arnulf; Maerki, Hans Peter; Binkert, Christoph; Bur, Daniel. **Development of a new class of inhibitors for the malarial aspartic protease plasmepsin II based on a central 7-azabicyclo[2.2.1]heptane scaffold.** Helvetica Chimica Acta 86 (6) (2003) 2173-2191.

Binggeli, Alfred; Maerki, Hans-Peter; Masquelin, Thierry; Mutel, Vincent; Wilhelm, Maurice; Wostl, Wolfgang. **Preparation of pyrimidines, triazines and pyrazines as metabotropic glutamate receptor (mGluR1a) antagonists for the treatment of neurological disorders.** PCT Int. Appl. (2002), 88 pp. WO 02/98864 A1 (Priority: June 01, 2001, Publication Date: December 12, 2002).

Malherbe P, Kratochwil N, Knoflach F, Zenner MT, Kew JN, Kratzeisen C, Maerki HP, Adam G, Mutel V. **Mutational analysis and molecular modeling of the allosteric binding site of a novel, selective, non-competitive antagonist of the metabotropic glutamate 1 receptor.** J Biol Chem. 2003 Mar 7;278(10):8340-7.

Carcache, David A.; Hortner, Simone R.; Bertogg, Andreas; Binkert, Christoph; Bur, Daniel; Marki, Hans Peter; Dorn, Arnulf; Diederich, Francois. **De novo design, synthesis, and in vitro evaluation of a new class of nonpeptidic inhibitors of the malarial enzyme plasmepsin II.** ChemBioChem (2002), 3(11), 1137-1141.

Binggeli, Alfred; Boehringer, Markus; Grether, Uwe; Hilpert, Hans; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Ricklin, Fabienne. **Carboxylic acid substituted oxazole derivatives for use as PPAR-alpha and -gamma activators in the treatment of diabetes.** PCT Int. Appl. (2002), 179 pp. WO 02/92084 A1 (Priority: May 15, 2001, Publication Date: November 15, 2002).

Binggeli, Alfred; Maerki, Hans-Peter; Mutel, Vincent; Wilhelm, Maurice; Wostl, Wolfgang. **Preparation of tetrahydro-benzo[d]azepines-pyrazines and -triazines as metabotropic glutamate receptor antagonists for the treatment or prevention of acute and/or chronic neurological disorders.** PCT Int. Appl. (2002), 40 pp. WO 02/51418 A1 (Priority: December 22, 2000, Publication Date: July 04, 2002).

Aebi, Johannes; Ackermann, Jean; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier. **Preparation of cholesterol lowering benzo[b]thiophenyl and benzo[d]isothiazolyl alkylamines.** PCT Int. Appl. (2002), 142 pp. WO 02/36584 A1 (Priority: November 02, 2000, Publication Date: May 10, 2002).

Ackermann, Jean; Aebi, Johannes; Blum, Denise; Chucholowski, Alexander; Dehmlow, Henrietta; Maerki, Hans-Peter; Morand, Olivier; Trussardi, Rene; Von der Mark, Elisabeth; Wallbaum, Sabine; Weller, Thomas. **Preparation of aminocyclohexanes as OSC inhibitors for treatment of hypercholesterolemia, hyperlipemia, arteriosclerosis, and vascular diseases.** PCT Int. Appl. (2002), 221 pp. WO 02/14267 A1.

Maerki, H.P.; Binggeli, A.; Bittner, B.; Bohner-Lang, V.; Breu, V.; Bur, D.; Coassolo, P.H.; Clozel, J.P.; D'Arcy, A.; Doebeli, H.; Fischli, W.; Funk, C.H.; Foricher, J.; Giller, T.; Gruninger, F.; Guenzi, A.; Guller, R.; Hartung, T.; Hirth, G.; Jenny, C.H.; Kansy, M.; Klinkhammer, U.; Lave, T.; Lohri, B.; Luft, F.C.; Mervaala, E.M.; Muller, D.N.; Muller, M.; Montavon, F.; Oefner, C.H.; Qiu, C.; Reichel, A.; Sanwald-Ducray, P.; Scalone, M.; Schleimer, M.; Schmid, R.; Stadler, H.; Treiber, A.; Valdenaire, O.; Vieira, E.; Waldmeier, P.; Wiegand-Chou, R.; Wilhelm, M.; Wostl, W.; Zell, M.; Zell, R. **Piperidine renin inhibitors: from leads to drug candidates.** *Il Farmaco* 2001, **56**, 21-7.

Binggeli A, Maerki HP, Mutel V, Wilhelm M, Wostl W. **Preparation of tetrahydro-heterocycloazepinyl pyrimidines as mGluR antagonists.** PCT Int. Appl. (2002), WO 02/06288 A1 (Priority: July 18, 2000, Publication Date: January 24, 2002).

Adam, Geo; Binggeli, Alfred; Maerki, Hans-Peter; Mutel, Vincent; Wilhelm, Maurice; Wostl, Wolfgang. **Preparation of tetrahydrobenzo[d]azepines as metabotropic glutamate receptor 1 antagonists.** Eur. Pat. Appl. (2001), 85 pp. EP 1074549 A2 (Priority: August 06, 1999, Publication Date: February 07, 2001).

Breu, Volker; Bur, Daniel; Maerki, Hans-Peter; Vieira, Eric; Wostl, Wolfgang. **7-[[[4-[4-[3-[(2-Methoxybenzyl)oxy]propoxy]phenyl]piperidin-3-yl]oxy]methyl]-1,2,3,4-tetrahydroquinoline derivatives useful as renin inhibitors.** PCT Int. Appl. (2000), 53 pp., WO0064887 A1 (Priority: April 27, 99, Filing Date: April 19, 2000).

Breu, Volker; Maerki, Hans-Peter; Vieira, Eric; Wostl, Wolfgang. **Preparation of piperidine derivatives as renin inhibitors.** PCT Int. Appl. (2000), 70 pp., WO 0064873 A1 (Priority: April 27, 99, Filing Date: April 20, 2000).

Hug, M; Maerki, H.P; Meier, M: **Composition comprising an inhibitor of gastrointestinal lipase and further additives – useful for treating or**

preventing the syndrome of anal leakage of oil after administration of the inhibitor. *Eur. Pat. Appl., EP 109516,* May 12, **1999.**

Güller, R, Binggeli, A; Breu, V; Bur, D; Fischli, W; Hirth, G; Jenny, C; Kansy, M; Montavon, F; Müller, M; Oefner, C; Scalone, M; Stadler, H; Vieira, E; Wilhelm, M; Wostl, W; Maerki, H.P: **Piperidine Renin-Inhibitors - Compounds with Improved Physiocochemical Properties.** *Bioorg. & Med. Chem. Lett.*, 1999, **9**(10): 1403-1408.

Vieira, E; Binggeli, A; Breu, V; Bur, D; Fischli, W; Güller, R; Hirth, G; Märki, H.P; Müller, M; Oefner, C; Scalone, M; Stadler, H; Wilhelm, M; Wostl, W: **Substituted Piperidines - Highly Potent Renin Inhibitors Due to Induced Fit Adaptation of the Active Site.** *Bioorg. & Med. Chem. Lett.*, 1999, **9**(10): 1397-1402.

Oefner, C; Binggeli, A; Breu, V; Bur, D; Dorn, A; Fischli, W; Güller, R; Hirth, G; Märki, H.P; Müller, M; Ridley, R; Stadler, H; Vieira, E; Wilhelm, M; Winkler, F; Wostl, W: **Renin Inhibition by Substituted Piperidines: A Novel Paradigm for the Inhibition of Monomeric Aspartic Proteinases ?** *Chemistry and Biology*, 1999, **6**: 127-131.

Wessel, H. P.; Chucholowski, A.; Fingerle, J.; Iberg, N.; Marki, H. P.; Muller, R.; Pech, M.; Pfister-Downar, M.; Rouge, M.; Schmid, G.; Tschopp, T. **From glycosaminoglycans to heparinoid mimetics with antiproliferative activity.** Carbohydrate Mimics (1998), 417-431.

Aebi, J; Dehmlow, H; Himber, J; Lengsfeld, H; Maerki, HP, Morand, O; Schmid, G; Ji, Y: **Preparation of (aminoalkyl)-substituted benzo-heterocyclic compounds with antimycotic and antihypercholesteremic activities.** Eur. Pat. Appl. **(1997)**, EP 778271 A2.

Binggeli, A; Breu, V; Bur, D; Fischli, W; Gueller, R; Hirth, G; Maerki, HP, Mueller, M; Oefner, Ch; Stadler, H; Vieira, E; Wilhelm, M; Wostl, W: **New Piperidine Derivatives as Renin-Inhibitors,** *World (PCT) Patent WO 9709311-A1,* July 26, **1996.**

Chucholowski, A; Fingerle, J; Iberg, N; Maerki, HP, Mueller, RKM; Pech, M; Rouge M, Schmid, G; Tschopp, T; Wessel, HP: **Neue Schwefelsäureester von Aminozuckern,** *Eur. Pat. Appl., EP 741139 A1,* Nov. 6, **1996.**

Chucholowski, A; Fingerle, J; Iberg, N; Maerki, HP, Mueller, RKM; Pech, M; Rouge M, Schmid, G; Tschopp, T; Wessel, HP: **Neue Schwefelsäureester von Zuckeralkoholen,** *Eur. Pat. Appl., EP 741128 A2,* Nov. 6, **1996.**

Chucholowski, A; Fingerle, J; Iberg, N; Maerki, HP, Mueller, RKM; Pech, M; Rouge M, Schmid, G; Tschopp, T; Wessel, HP: **Neue Schwefelsäureester von Zuckeralkoholen,** *Eur. Pat. Appl., EP 663391 A1,* July 17, **1995.**

Luethi-Peng, Q; Maerki, HP; Hadvary, P: **Identification of the Active-Site Serine in Human Pancreatic Lipase by Chemical Modification with Tetrahydrolipstatin.** *FEBS Lett.* 1992, **299**(1): 111-15.

Peng, Q; Hadvary, P; Maerki, HP: **Identification of the THL Binding Site on Human Pancreatic Lipase.** *GBF Monogr.* 1991, **16**(Lipases): 141-4.

Derungs, R; Maerki, HP; Stalder, H; Szente, A: **Preparation of 4-(Acyloxyethyl)oxetan-2-ones as Pancreatic Lipase Inhibitors.** *Eur. Pat. Appl., EP 444482 A2*, Sept. 4, **1991**.

Branca, Q; Maerki, HP; Neidhart, W; Ramuz, H; Wostl, W: **Preparation of Peptide Renin Inhibitors.** *Eur. Pat. Appl., EP 391179 A2*, Oct. 10, **1990**.

Branca, Q; Edenhofer, A; Maerki, HP; Neidhart, W; Ramuz, H; Wostl, W: **Preparation of Peptide Renin Inhibitors.** *Eur. Pat. Appl., EP 391180 A2*, Oct. 10, **1990**.

Branca, Q; Maerki, HP; Neidhart, W; Ramuz, H; Wostl, W: **Preparation of Peptide Renin Inhibitors.** *Eur. Pat. Appl., EP 389898 A2*, Oct. 3, **1990**.

Eigenmann, R; Burkard, WP; Holck, M; Maerki, HP; Osterrieder, W; Goodman, M; Melmon, KL: **In Vitro Pharmacological Properties of a Series of Isoprenaline Derivatives.** *Arzneim.-Forsch.* 1989, **39**(8): 842-7.

Branca, Q; Jaunin, R; Maerki, HP; Marti, F; Ramuz, H: **Preparation of [[(Heterocyclylalkyl)amino]ethyl]tetrahydronaphthalenes as Cardiovascular Agents.** *Eur. Pat. Appl., EP 268148 A1*, May 25, **1988**.

Hoagland, S; Morita, Y; Bai, DL; Maerki, HP; Kees, K; Brown, L; Heathcock, CH: **Acyclic Stereoselection. 43. Stereoselective Synthesis of the C-8 to C-15 Moiety of Erythronolide A.** *J. Org. Chem.* 1988, **53**(20): 4730-5.

Maerki, HP; Crameri, Y; Eigenmann, R; Krasso, A; Ramuz, H; Bernauer, K; Goodman, M; Melmon, KL: **Optically Pure Isoproterenol Analogs with Side Chains Containing an Amide Bond. Synthesis and Biological Properties.** *Helv. Chim. Acta* 1988, **71**(2): 320-36.

Heathcock, CH; Hagen, JP; Young, SD; Pilli, R; Bai, DL; Maerki, HP; Kees, K; Badertscher, U: **Simple Diastereoselection in the Formation of α,β-Dihydroxy Aldehydes: an Aldol Approach to the Synthesis of Erythronolide A [1].** *Chemica Scripta* 1985, **25**: 39-43.

Heathcock, CH; Pirrung, MC; Young, SD; Hagen, JP; Jarvi, ET; Badertscher, U; Maerki, HP; Montgomery SH: **Acyclic Stereoselection. 23. Lactaldehyde Enolate Equivalents.** *J. Am. Chem. Soc.* 1984, **106**(26): 8161-74.

Maerki, HP; Eugster, CH: **Synthesis of Diastereo- and Enantioselectively Deuterated β,ε-, β,β -, β,γ- and γ,γ-Carotenes.** *Helv. Chim. Acta* 1981, **64**(5): 1257-87.

Maerki, HP; Eugster, CH: **Synthesis and Chiroptical Properties of (1R,1'R)-[16,16,16,16',16',16'-$^{2}H_{6}$] β, β -Carotene.** *Chem. Commun.* **1980**, 527.

Maerki, HP: **Synthesen von stereoselektiv deuterierten Carotinen als Modellsubstanzen zur Aufklärung der Stereochemie des biologischen Cyclisierungsschrittes**. *INAUGURAL-DISSERTATION* zur Erlangung der philosophischen Doktorwürde vorgelegt der Philosophischen Fakultät II der Universität Zürich. Juris Druck + Verlag Zürich, **1980.**